

(19) World Intellectual Property
Organization
International Bureau



(43) International Publication Date
22 September 2005 (22.09.2005)

PCT

(10) International Publication Number
WO 2005/087759 A1

(51) International Patent Classification⁷: **C07D 401/06**,
215/56, A61K 31/47, A61P 31/18

(74) Agent: **RUPERT, Wayne, W.**; Klarquist Sparkman,
LLP, Suite 1600, One World Trade Center, 121 Southwest
Salmon Street, Portland, OR 97204 (US).

(21) International Application Number:
PCT/US2005/008202

(81) Designated States (unless otherwise indicated, for every
kind of national protection available): AE, AG, AL, AM,
AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN,
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI,
GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE,
KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD,
MG, MK, MN, MW, MX, MY, NA, NI, NO, NZ, OM, PG,
PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ,
TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA,
ZM, ZW.

(22) International Filing Date: 9 March 2005 (09.03.2005)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
60/552,423 10 March 2004 (10.03.2004) US

(84) Designated States (unless otherwise indicated, for every
kind of regional protection available): ARIPO (BW, GH,
GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM,
ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM),
European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI,
FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO,
SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN,
GQ, GW, ML, MR, NE, SN, TD, TG).

(71) Applicant (for all designated States except US): **THE
GOVERNMENT OF THE UNITED STATES OF
AMERICA**, as represented by **THE SECRETARY OF
THE DEPARTMENT OF HEALTH AND HUMAN
SERVICES** [US/US]; National Institutes of Health Of-
fice of Technology Transfer, Suite 325, 6011 Executive
Boulevard, Rockville, MD 20852-3804 (US).

Declaration under Rule 4.17:

— of inventorship (Rule 4.17(iv)) for US only

(72) Inventors; and

Published:

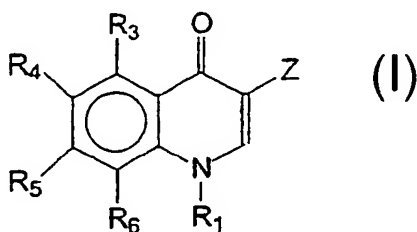
(75) Inventors/Applicants (for US only): **DI SANTO,
Roberto** [IT/IT]; Via Dei Panfili, 108, I-00121 Rome (IT).
POMMIER, Yves [US/US]; 8102 Maple Ridge Road,
Bethesda, MD 20814 (US). **MARCHAND, Christophe**
[FR/US]; 9823 Bristol Square Lane, Apt. 304, Bethesda,
MA 20814 (US). **ARTICO, Marino** [IT/IT]; Via Cesare
Bazzani, 192, I-00128 Rome (IT). **COSTI, Roberta**
[IT/IT]; Via dei Panfili, 108, I-00121 Rome (IT).

— with international search report

— before the expiration of the time limit for amending the
claims and to be republished in the event of receipt of
amendments

For two-letter codes and other abbreviations, refer to the "Guid-
ance Notes on Codes and Abbreviations" appearing at the begin-
ning of each regular issue of the PCT Gazette.

(54) Title: **QUINOLIN-4-ONES AS INHIBITORS OF RETROVIRAL INTEGRASE FOR THE TREATMENT OF HIV, AIDS
AND AIDS RELATED COMPLEX (ARC)**



(57) Abstract: Novel quinoline inhibitors of retroviral integrase, particularly HIV-1 integrase. The quinoline inhibitors are oxoquinolines of the following formula (I) that can be used for preventing or treating AIDS or HIV infection in a subject. Wherein Z is selected from -C(O)OR₂ then at least one of R₃, R₄, R₅ or R₆ is -C(O)CH₂C(O)X and wherein R₁, R₂, R₃, R₅, R₆ or X are as disclosed herein.